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L1 HAS NO ANSWERS
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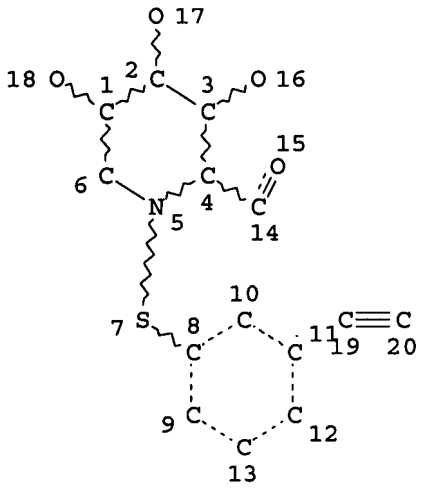

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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  20

STEREO ATTRIBUTES: NONE


=> s l1
SAMPLE SEARCH INITIATED 16:51:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        2 TO ITERATE

100.0% PROCESSED         2 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            2 TO      124
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 16:51:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       31 TO ITERATE

100.0% PROCESSED        31 ITERATIONS                                10 ANSWERS
SEARCH TIME: 00.00.01

L3            10 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   173.90     174.11

FILE 'CAPLUS' ENTERED AT 16:51:45 ON 29 MAY 2007
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FILE LAST UPDATED: 28 May 2007 (20070528/ED)

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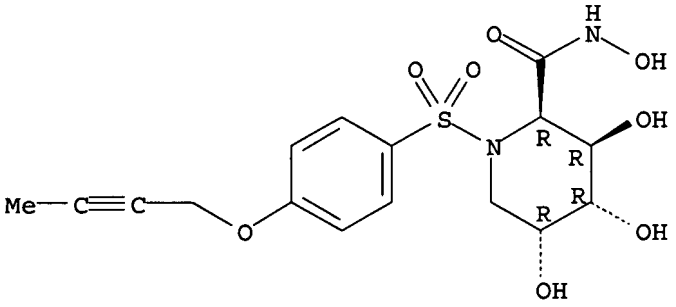
=> s l3
L4 4 L3

=> d bib abs hitstr 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:194851 CAPLUS
DN 146:397257
TI Heterocyclic inhibitors of tumor necrosis factor-α converting enzyme (TACE)
AU Levin, Jeremy I.
CS Wyeth Research, Chemical and Screening Sciences, Pearl River, NY, 10956, USA
SO Heterocycles (2006), 70, 691-704
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
AB A variety of heterocyclic ring systems have been prepared as scaffolds for butynyloxyphenyl sulfonamide and sulfone hydroxamic acid inhibitors of TACE enzyme. All scaffolds provided highly active TACE inhibitors, but selectivity, and cellular activity was highly scaffold dependent.
IT 683210-53-9
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(heterocyclic inhibitors of tumor necrosis factor-α converting enzyme)
RN 683210-53-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butyn-1-yloxy)phenyl]sulfonyl]-N,3,4,5-tetrahydroxy-, (2R,3R,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:589540 CAPLUS
DN 141:140321
TI Preparation of alkynyl-substituted azasugar derivatives as TACE inhibitors
IN Tsukida, Takahiro; Moriyama, Hideki; Nishimura, Shinichiro; Inoue, Yoshimasa
PA Japan Bioindustry Association, Japan
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004060875	A1	20040722	WO 2003-JP9845	20030801
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003252361	A1	20040729	AU 2003-252361	20030801
	EP 1577299	A1	20050921	EP 2003-814529	20030801
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006058350	A1	20060316	US 2005-540485	20050623
PRAI	JP 2002-375800	A	20021226		
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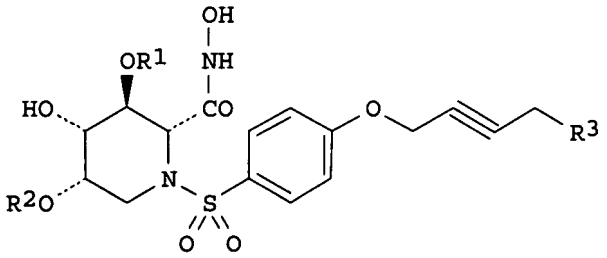
OS MARPAT 141:140321
GI



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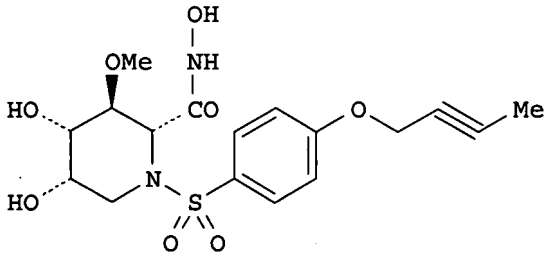


II

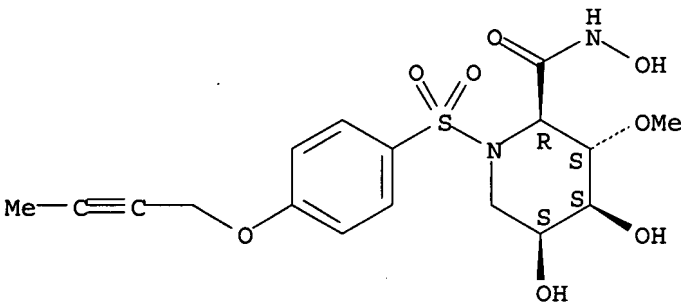
AB The title compds. I [wherein R1 and R2 = independently H, alkyl, alkenyl, or PhCH2, etc.; R3 = H or OH] or pharmaceutically acceptable salts thereof are prepared as TNF-α converting enzyme (TACE) inhibitors. For example, the compound II was prepared in a multi-step synthesis. II showed Ki of >850, >650, >790, and 4.3 nM against human MMP1, MMP3, MMP9, and TACE, resp. I are useful as a preventive or a remedy for insulin-independent diabetes, rheumatoid arthritis, arthritis deformans, sepsis, acquired immune deficiency syndrome (AIDS), graft-vs.-host disease (GVHD), asthma, atopic dermatitis, ulcerative colitis, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 726186-57-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of alkynyl-substituted azasugar derivs. as TACE inhibitors)

RN 726186-57-8 CAPLUS

CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,4,5-trihydroxy-3-methoxy-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

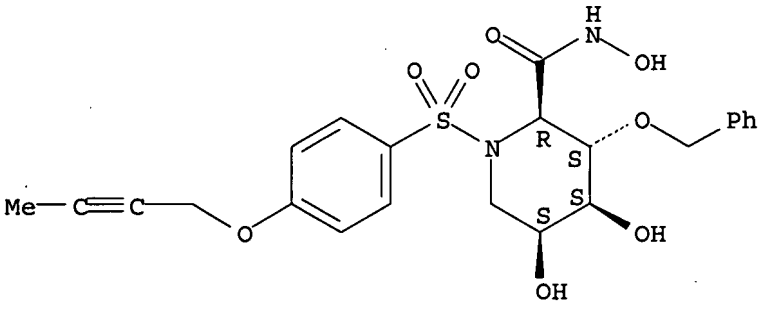
Absolute stereochemistry. Rotation (+).



IT 726186-58-9P 726186-59-0P 726186-61-4P
726186-63-6P 726186-64-7P 726186-66-9P
726186-68-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of alkynyl-substituted azasugar derivs. as TACE inhibitors)

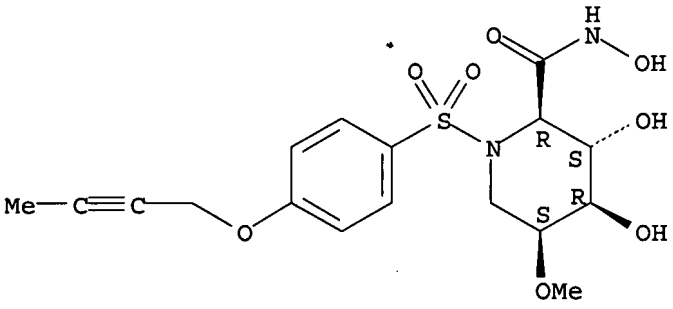
RN 726186-58-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,4,5-trihydroxy-3-(phenylmethoxy)-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



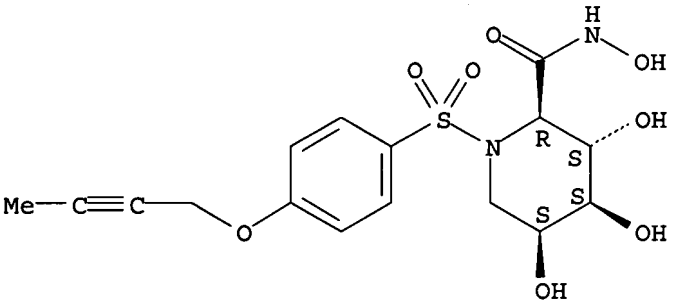
RN 726186-59-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,3,4-trihydroxy-5-methoxy-, (2R,3S,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



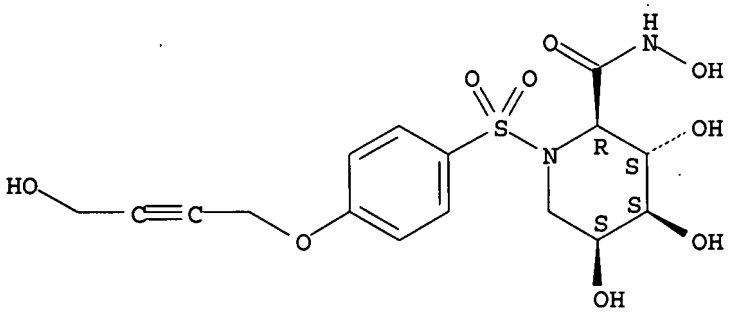
RN 726186-61-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,3,4,5-tetrahydroxy-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



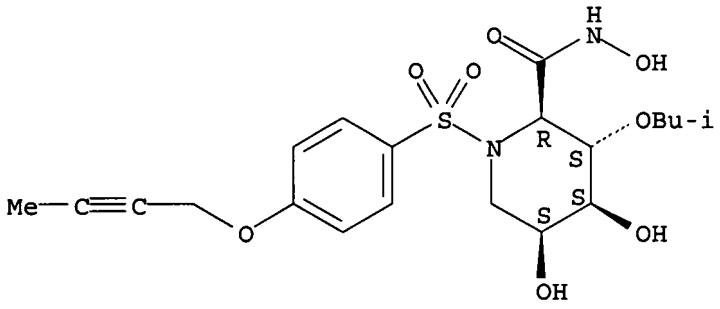
RN 726186-63-6 CAPLUS
CN 2-Piperidinecarboxamide, N,3,4,5-tetrahydroxy-1-[[4-[(4-hydroxy-2-butynyl)oxy]phenyl]sulfonyl]-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



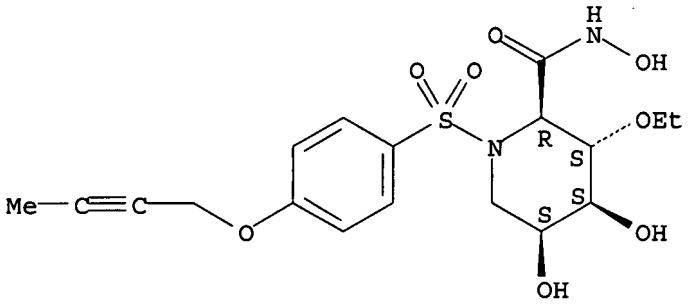
RN 726186-64-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,4,5-trihydroxy-3-(2-methylpropoxy)-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



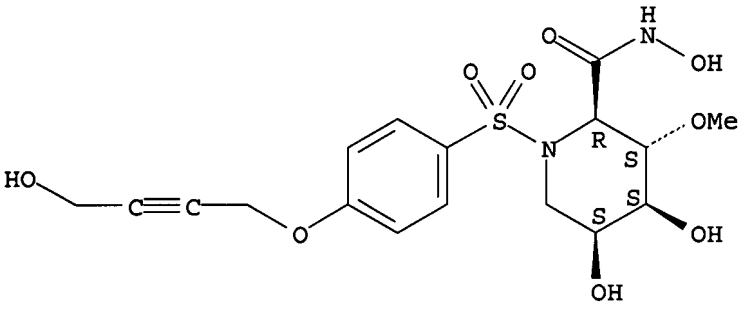
RN 726186-66-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-3-ethoxy-N,4,5-trihydroxy-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

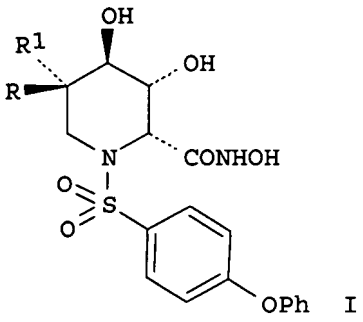


RN 726186-68-1 CAPLUS
CN 2-Piperidinecarboxamide, N,4,5-trihydroxy-1-[[4-[(4-hydroxy-2-butynyl)oxy]phenyl]sulfonyl]-3-methoxy-, (2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



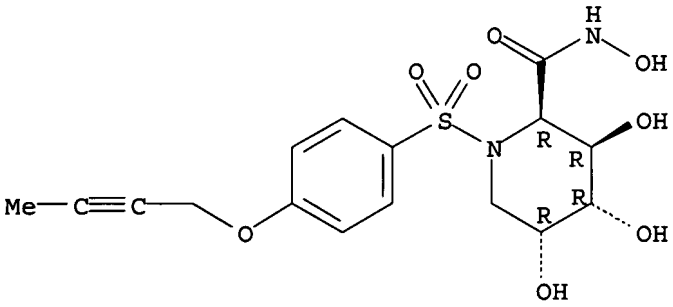
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:202624 CAPLUS
DN 140:375400
TI Aza-Sugar-Based MMP/ADAM Inhibitors as Antipsoriatic Agents
AU Moriyama, Hideki; Tsukida, Takahiro; Inoue, Yoshimasa; Yokota, Kohichi; Yoshino, Kohichiro; Kondo, Hirosato; Miura, Nobuaki; Nishimura, Shinichiro
CS Hokkaido Collaboration Center N-21, Kita, Sapporo, 001-0021, Japan
SO Journal of Medicinal Chemistry (2004), 47(8), 1930-1938
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 140:375400
GI



AB As a part of synthetic studies on MMP (matrix metalloproteinase)/ADAM (a disintegrin and metalloproteinase) inhibitors, we have preliminarily communicated that aza-sugar-based compound I (R = H, R1 = OH) exhibited a potential inhibitory activity on some metalloprotease-catalyzed proteolytic reactions. To find promising candidates for the topical treatment of psoriasis, we investigated stability in aqueous solution of compound I (R = H, R1 = OH) and its derivative I (R = OH, R1 = H). In the present study, we synthesized novel derivs. of compound I (R = H, R1 = OH) and evaluated their inhibitory activity toward MMP-1, -3, and -9, TACE, and HB-EGF shedding, from a viewpoint of versatility of aza-sugars as a functional scaffold. As a result, it was found that compound I (R = OH, R1 = H) demonstrated desirable inhibitory activity as an antipsoriatic agent, and some of the derivs. showed selective inhibitory activity. In addition, it was found that compound I (R = OH, R1 = H) exhibited a significant therapeutic effect on a mouse TPA-induced epidermal hyperplasia model. Therefore, compound I (R = OH, R1 = H) could become a promising candidate as a practical antipsoriatic agent.
IT 683210-53-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(preparation of aza-sugar-based MMP/ADAM inhibitors as antipsoriatic agents)
RN 683210-53-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butyn-1-yloxy)phenyl]sulfonyl]-N,3,4,5-tetrahydroxy-, (2R,3R,4R,5R)- (CA INDEX NAME)

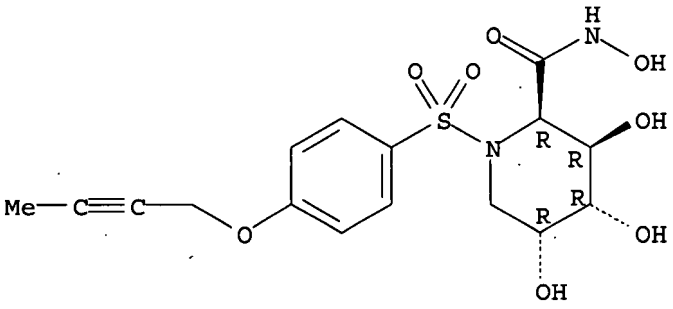
Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

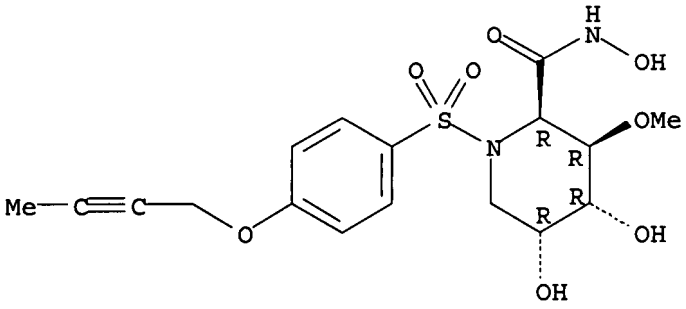
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:189180 CAPLUS
DN 140:391423
TI Synthesis and biological activity of selective azasugar-based TACE inhibitors
AU Tsukida, Takahiro; Moriyama, Hideki; Inoue, Yoshimasa; Kondo, Hirosato; Yoshino, Kohichiro; Nishimura, Shin-Ichiro
CS Japan Bioindustry Association, Hokkaido Collaboration Center, Kita-Ku, Sapporo, 001-0021, Japan
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(6), 1569-1572
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:391423
AB A series of azasugar-based hydroxamic acid derivs. bearing 2R,3R,4R,5R-configuration is described. The compound with a 4,5-O-acetonide group showed excellent in vitro potency against TACE, with high selectivity over MMP-1 and moderate selectivity over MMP-3 and MMP-9.
IT 683210-53-9P 686747-96-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity of selective azasugar-based TACE inhibitors)
RN 683210-53-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butyn-1-yloxy)phenyl]sulfonyl]-N,3,4,5-tetrahydroxy-, (2R,3R,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 686747-96-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(2-butynyloxy)phenyl]sulfonyl]-N,4,5-trihydroxy-3-methoxy-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT